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=> b reg
FILE 'REGISTRY' ENTERED AT 13:45:06 ON 01 JUL 2010
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STRUCTURE FILE UPDATES:   30 JUN 2010  HIGHEST RN 1228750-08-0
DICTIONARY FILE UPDATES:  30 JUN 2010  HIGHEST RN 1228750-08-0

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TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

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predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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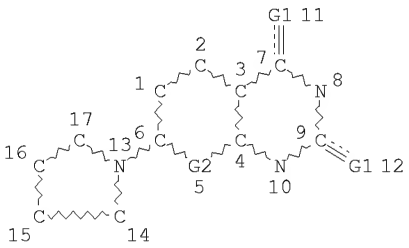


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STEREO ATTRIBUTES: NONE
L5         1668 SEA FILE=REGISTRY SSS FUL L3

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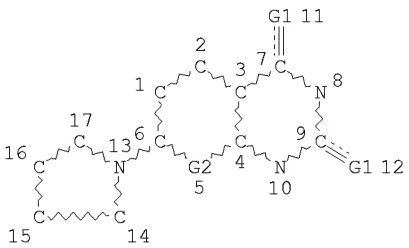

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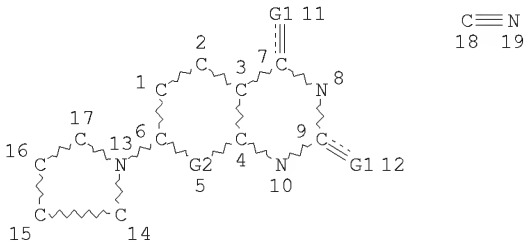

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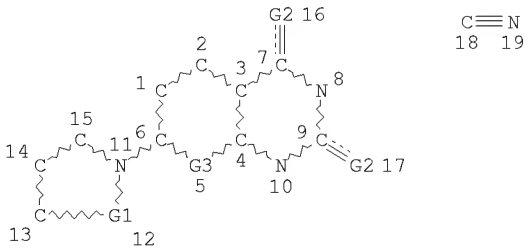

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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
L26 265 SEA FILE=REGISTRY SSS FUL L24

100.0% PROCESSED 1481 ITERATIONS 265 ANSWERS

SEARCH TIME: 00.00.01

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FILE 'ZCAPLUS' ENTERED AT 13:45:19 ON 01 JUL 2010
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FILE COVERS 1907 - 1 Jul 2010 VOL 153 ISS 1
FILE LAST UPDATED: 30 Jun 2010 (20100630/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

ZCAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d bib abs hitrn fhitstr l30 tot

L30 ANSWER 1 OF 1 ZCAPLUS COPYRIGHT 2010 ACS on STN

AN 2005:472150 ZCAPLUS

DN 143:26626

TI Preparation of aminoquinazolidinedione derivatives as antibacterials.

IN Ellsworth, Edmund Lee; Hoyer, Denton Wade; Hutchings, Kim Marie; Kendall, Jackie Diane; Murphy, Sean Timothy; Starr, Jeremy Tyson; Tran, Tuan Phong

PA Warner-Lambert Company LLC, USA

SO PCT Int. Appl., 226 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2005049605	A1	20050602	2004WO-IB003645	20041105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA-----2546339	A1	20050602	2004CA-002546339	20041105
EP-----1687296	A1	20060809	2004EP-000798793	20041105
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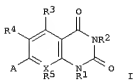
BR--2004016708	A	20070116	2004BR-000016708	20041105
JP--2007511597	T	20070510	2006JP-000540639	20041105
MX--2006005550	A	20060817	2006MX-000005550	20060516
US-20070191333	A1	20070816	2007US-000580088	20070227
PRAI 2003US-00523072P	P	20031118		
2004US-00606442P	P	20040902		
2004WO-IB003645	W	20041105		

OS CASREACT 143:26626; MARPAT 143:26626

GI



I

AB Title compds. [I; A = specified (fused) cyanoethylaminopyrrolidinyl, etc.; X = N, C; R1 = alkyl, cycloalkyl, haloalkyl, halocycloalkyl, aryl, heteroaryl, cycloalkylalkyl; R2 = H, NH2, NHP(O)(OH)2, alkylamino, cycloalkylamino, arylamino, heteroarylamino, etc.; R3-R5 = H, halo, amino, alkyl, haloalkyl, alkoxy, haloalkoxy, cyano; R1R5 = atoms to form a 5-6 membered (substituted) ring], were prepared Thus, 3-amino-3-pyrrolidin-3-ylpropionitrile, 3-amino-1-cyclopropyl-6,7-difluoro-8-methyl-1H-quinazoline-2,4-dione, and 1,1,3,3-tetramethylguanidine were heated together at 90° overnight to give 37% 3-amino-3-[1-(1-cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)pyrrolidin-3-yl]propionitrile. The latter showed a min. inhibitory concentration of 2 μg/mL against H. influenzae HI-3542.

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L30 ANSWER 1 OF 1 ZCAPLUS COPYRIGHT 2010 ACS on STN (Continued)

(drug candidate; prepn. of aminoquinazolidinediones as antibacterials)

IT **852656-26-9** **852656-27-0** **852656-28-1**
852656-29-2 **852656-30-5** **852656-31-6**
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RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(drug candidate; preparation of aminoquinazolidinediones as antibacterials)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoquinazolidinediones as antibacterials)

IT **852656-18-9P** **852656-19-0P** **852656-20-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoquinazolidinediones as antibacterials)

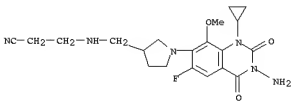
IT **852653-45-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminoquinazolidinediones as antibacterials)

RN 852653-45-3 ZCAPLUS

CN Propanenitrile, 3-[[[1-(3-amino-1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-8-methoxy-2,4-dioxo-7-quinazolinyl)-3-pyrrolidinyl]methyl]amino]- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr l33 tot

L33 ANSWER 1 OF 4 ZCAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:1215403 ZCAPLUS
DN 149:448422
TI Preparation of 7-amino-6-(aminomethyl)pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione derivatives as renin inhibitors
IN Gwaltney, Stephen L.; Lam, Betty; Zhang, Zhiyuan
PA Takeda Pharmaceutical Company Limited, Japan
SO PCT Int. Appl., 208pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2008121506	A2	20081009	2008WO-US0056509	20080311
WO--2008121506	A3	20090219		
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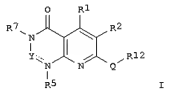
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US-20100137310	A1	20100603	2009US-000529167	20090922
PRAI 2007US-00909382P	P	20070330		
2008WO-US0056509	W	20080311		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 149:448422; MARPAT 149:448422
GI



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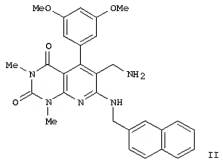
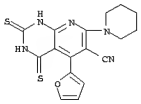


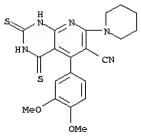
II

AB The invention is related to the preparation of title compds. I [Q = O, S, NH and derivs.; Y = CO, CS, SO, SO2, CH2 and derivs., C(NH2) and derivs.; R1 = H, CN, (un)substituted cyvcloalkyl, (hetero)aryl, etc.; R2 = CN, (un)substituted aminoalkyl, heterocycloalkyl, heterobicycloaryl, heteroaryl; R5, R7 = independently H, NH2, OH, (un)substituted alkyl, (hetero)arylalkyl, etc.; or R5 = absent when the N on which R5 is attached forms part of a double bond; R12 = (un)substituted Ph,

L33 ANSWER 2 OF 4 ZCAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:1034380 ZCAPLUS
DN 149:288739
TI Synthesis and biological evaluation of pyrido[2,3-d]pyrimidine as antitumor effect
AU Eissa, A. M. F.; Ezz El-Arab, E. M.; Farag, A. M.; Moharram, H. H.
CS Chemistry Department, Faculty of Science, Benha University, Egypt
SO Egyptian Journal of Chemistry (2006), 49(6), 761-774
CODEN: EGJCA3; ISSN: 0449-2285
PB National Information and Documentation Centre
DT Journal
LA English
OS CASREACT 149:288739
AB 6-Amino-3,5-dicyano-4-R-1,2-dihydropyridine-2-thiones (R = H, furan-2-yl, thien-2-yl, 3,4-(MeO)2C6H3) were used for the synthesis of diverse pyridopyrimidine derivs. of anticipated medicinal application, particularly their antitumor effect. The pyrido[2,3-d]pyrimidine ring system has been the subject of numerous studies because of its structural similarity to folic acid.
IT **1048986-95-3P 1048986-97-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and evaluation of pyrido[2,3-d]pyrimidinecarbonitriles for antitumor activity)
RN 1048986-95-3 ZCAPLUS
CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 5-(2-furanyl)-1,2,3,4-tetrahydro-7-(1-piperidinyl)-2,4-dithioxo- (CA INDEX NAME)

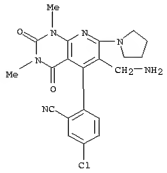


RN 1048986-97-5 ZCAPLUS
CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 5-(3,4-dimethoxyphenyl)-1,2,3,4-tetrahydro-7-(1-piperidinyl)-2,4-dithioxo- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 1 OF 4 ZCAPLUS COPYRIGHT 2010 ACS on STN (Continued)
phenyl/naphthyl/alkyl] which exhibit renin and other S9 proteases activities, to their pharmaceutical compns., and kits. Synthetic examples in which R12 = H are also given. Thus, dioxopyridopyrimidine II was prepd. from 3,5-dimethoxybenzaldehyde, malononitrile, 6-amino-1,3-dimethylpyrimidine-2,4(1H,3H)-dione and 2-(bromomethyl)naphthalene and inhibited renin and DPP-IV with IC50 values in the range of 1-10 μM and >100 μM. I and their formulations are useful for treating cardiovascular diseases (no data).
IT **873935-46-7P**, 2-[6-(Aminomethyl)-1,3-dimethyl-2,4-dioxo-7-(pyrrolidin-1-yl)-1,2,3,4-tetrahydropyrido[2,3-d]pyrimidin-5-yl]-5-chlorobenzonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dioxopyrido[2,3-d]pyrimidines derivs. as renin inhibitors)
RN 873935-46-7 ZCAPLUS
CN Benzonitrile, 2-[6-(aminomethyl)-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-7-(1-pyrrolidinyl)pyrido[2,3-d]pyrimidin-5-yl]-5-chloro- (CA INDEX NAME)



L33 ANSWER 3 OF 4 ZCAPLUS COPYRIGHT 2010 ACS on STN
AN 2006:53679 ZCAPLUS
DN 144:150378
TI Preparation of pyrido[2,3-d]pyrimidine-2,4-diones and related compounds as selective dipeptidyl peptidase inhibitors
IN Feng, Jun; Gwaltney, Stephen L.; Lam, Betty; Zhang, Zhiyuan
PA Takeda Pharmaceutical Co., Ltd., Japan
SO U.S. Pat. Appl. Publ., 55 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US-20060014764	A1	20060119	2005US-000183335	20050715
WO--2006019965	A2	20060223	2005WO-US0025070	20050714
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EP-----1773832	A2	20070418	2005EP-000772260	20050715
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JP--2008506708	T	20080306	2007JP-000521673	20050715
PRAI 2004US-00588577P	P	20040716		
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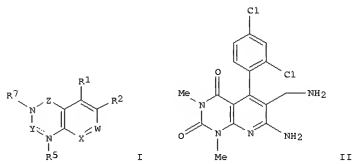
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 144:150378; MARPAT 144:150378
GI



I II

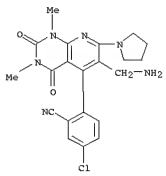
AB Pyrido[2,3-d]pyrimidine-2,4-diones and related compds. (shown as I; variables defined below; e.g. 7-amino-6-aminomethyl-5-(2,4-dichlorophenyl)-1,3-dimethyl-1H-pyrido[2,3-d]pyrimidine-2,4-dione trifluoroacetate (free

L33 ANSWER 3 OF 4 ZCAPLUS COPYRIGHT 2010 ACS on STN (Continued)
base shown as II)), pharmaceutical compns., kits and methods are provided for inhibiting DPP-IV and other S9 proteases. Although the methods of prepn. are not claimed, prepns. and/or characterization data for .apprx.50 examples of I are included. For example, II was prepd. by cyclizing 2-(2,4-dichlorobenzylidene)malononitrile (prepd. from 2,4-dichlorobenzaldehyde and malononitrile) with 6-amino-1,3-dimethyluracil followed by redn. with BH3-THF and acidification with TFA. For I: W = CR3 and N; X = CR4 and N; Y = CO, CS, SO, SO2, CR6R6' and C:NR6; Z = CO, CS, SO, SO2, and C:NR6; R1 = (C1-10)alkyl, (C3-12)cycloalkyl, hetero(C3-12)cycloalkyl, aryl(C1-10)alkyl, heteroaryl(C1-5)alkyl, et al.; R2 = amino(C1-6)alkyl, hetero(C3-12)cycloalkyl, hetero(C4-12)bicycloaryl, heteroaryl, and cyano; R5 and R7 = H, halo(C1-10)alkyl, amino, nitro, thio, sulfonamide, (C1-10)alkyl, (C3-12)cycloalkyl, et al.; addnl. details including provisos are given in the claims. Compds. I were tested according to assays for protease inhibition and obsd. to exhibit selective DPP-IV inhibitory activity. For example, they inhibit DPP-IV activity at concns. that are at least 50 fold less than those concns. required to produce an equiactive inhibition of protease activity for FAP.alpha.. The apparent inhibition consts. (Ki) for compds. of the invention, against DPP-IV, were .apprx.10-9 M to .apprx.10-5 M.

IT **873935-46-7P**, 2-[6-(Aminomethyl)-1,3-dimethyl-2,4-dioxo-7-(pyrrolidin-1-yl)-1,2,3,4-tetrahydropyrido[2,3-d]pyrimidin-5-yl]-5-chlorobenzonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrido[2,3-d]pyrimidine-2,4-diones and related compds. as selective dipeptidyl peptidase inhibitors)

RN 873935-46-7 ZCAPLUS

CN Benzonitrile, 2-[6-(aminomethyl)-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-7-(1-pyrrolidinyl)pyrido[2,3-d]pyrimidin-5-yl]-5-chloro- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L33 ANSWER 4 OF 4 ZCAPLUS COPYRIGHT 2010 ACS on STN
AN 2001:545673 ZCAPLUS
DN 135:122511
TI Preparation of 3-aminoquinazoline-2,4-dione antibacterial agents
IN Bird, Paul; Ellsworth, Edmund Lee; Nguyen, Dai Quoc; Sanchez, Joseph Andrew; Showalter, Howard Daniel Hollis; Singh, Rajeshwar; Stier, Michael Andrew; Tran, Tuan Phong; Watson, Brian Morgan; Yip, Judy
PA Warner-Lambert Company, USA
SO PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2001053273	A1	20010726	2000WO-US0033656	20001212 <--
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ZA--2002005197	A	20031104	2002ZA-000005197	20020627 <--
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HR--2002000696	A2	20031231	2002HR-000000696	20020823 <--
US--20060183762	A1	20060817	2002US-000182221	20021209 <--
US-----7094780	B2	20060822		
US--20060287308	A1	20061221	2006US-000452121	20060613 <--
US-----7582627	B2	20090901		
PRAI 2000US-00178252P	P	20000124 <--		
2000US-00241267P	P	20001018 <--		
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
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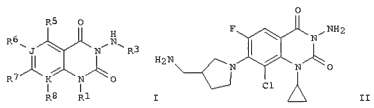


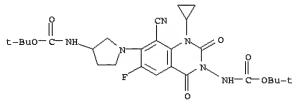
AB Title compds. (I) [wherein: R1 and R3 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, or heterocyclic; independently R5, R6, and R8 = H or (un)substituted alkyl, alkenyl, alkynyl, or halo, NO2, CN, NH2, (di)alkylamino, etc.; or R1 and R8 taken

L33 ANSWER 4 OF 4 ZCAPLUS COPYRIGHT 2010 ACS on STN (Continued)
together with the atoms to which they are attached may form an (un)substituted heterocycle; R7 = H or (un)substituted alkyl, alkenyl, alkynyl, (fused) heterocyclic, or (fused) aryl, or halo, NO2, CN, NH2, (di)alkylamino, carboxy, etc.; J and K = independently C or N; and pharmaceutically acceptable salts thereof] were prepd. as antibacterial agents. For example, N'-{4-[3-(tert-butoxycarbonylaminomethyl)pyrrolidin-1-yl]-2-cyclopropylamino-5-fluorobenzoyl}hydrazinecarboxylic acid tert-Bu ester (multi-step prepn. given) was chlorinated with N-chlorosuccinimide, cyclized with triphosgene in the presence of K2CO3, and deprotected using HCl gas to afford II.HCl. In antibacterial assays, II.HCl exhibited min. inhibitory concns. of 0.13-2.0 .mu.g/mL against an assortment of Gram neg. and Gram pos. organisms, as well as ciprofloxacin resistant E. coli and S. aureus strains. In addn., II.HCl inhibited supercoiling activity of DNA gyrase with IC50 of 1.0 .mu.M.

IT **351360-04-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 3-aminoquinazoline-2,4-dione antibacterial agents via multi-step syntheses involving cyclization of benzoylhydrazinecarboxylates with phosgene)

RN 351360-04-8 ZCAPLUS

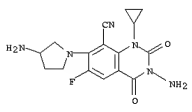
CN Carbamic acid, [1-[8-cyano-1-cyclopropyl-3-[[(1,1-dimethylethoxy)carbonyl]amino]-6-fluoro-1,2,3,4-tetrahydro-2,4-dioxo-7-quinazolinyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **351362-03-3P** **351371-54-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-aminoquinazoline-2,4-dione antibacterial agents via multi-step syntheses involving cyclization of benzoylhydrazinecarboxylates with phosgene)

RN 351362-03-3 ZCAPLUS

CN 8-Quinazolinecarbonitrile, 3-amino-7-(3-amino-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-2,4-dioxo-, hydrochloride (1:?) (CA INDEX NAME)

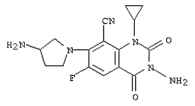


●x HCl

RN 351371-54-5 ZCAPLUS

CN 8-Quinazolinecarbonitrile, 3-amino-7-(3-amino-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-2,4-dioxo- (CA INDEX NAME)

L33 ANSWER 4 OF 4 ZCAPLUS COPYRIGHT 2010 ACS on STN (Continued)



OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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